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                 BEILSTEIN enhanced with new display and select options,
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                 resulting in a closer connection to BABS
        AUG 02
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                 fields
                 CAplus and CA patent records enhanced with European and Japan
NEWS
      5 AUG 02
                 Patent Office Classifications
                 The Analysis Edition of STN Express with Discover!
        AUG 02
NEWS
                 (Version 7.01 for Windows) now available
      7
         AUG 27
                 BIOCOMMERCE: Changes and enhancements to content coverage
NEWS
                 BIOTECHABS/BIOTECHDS: Two new display fields added for legal
NEWS
         AUG 27
                 status data from INPADOC
                 INPADOC: New family current-awareness alert (SDI) available
NEWS 9
         SEP 01
                 New pricing for the Save Answers for SciFinder Wizard within
NEWS 10
         SEP 01
                 STN Express with Discover!
                 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 11
         SEP 01
NEWS 12
         SEP 14
                 STN Patent Forum to be held October 13, 2004, in Iselin, NJ
NEWS 13
         SEP 27
                 STANDARDS will no longer be available on STN
                 SWETSCAN will no longer be available on STN
         SEP 27
NEWS 14
         SEP 30
                 STN downtime scheduled October 2-3, 2004
NEWS 15
              JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
              STN Operating Hours Plus Help Desk Availability
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              General Internet Information
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              Welcome Banner and News Items
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NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
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FILE 'HOME' ENTERED AT 17:13:44 ON 04 OCT 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:14:01 ON 04 OCT 2004
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STRUCTURE FILE UPDATES: 3 OCT 2004 HIGHEST RN 756446-64-7 DICTIONARY FILE UPDATES: 3 OCT 2004 HIGHEST RN 756446-64-7

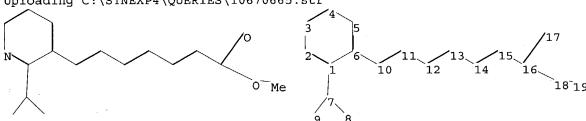
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\STNEXP4\QUERIES\10670665.str



chain nodes :

7 8 9 10 11 12 13 14 15 16 17 18 19

ring nodes :

1 2 3 4 5 6

chain bonds :

 $1-7 \quad 6-10 \quad 7-8 \quad 7-9 \quad 10-11 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16 \quad 16-17 \quad 16-18 \quad 18-19$

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

16-17 16-18

exact bonds :

1-7 6-10 7-8 7-9 10-11 11-12 12-13 13-14 14-15 15-16 18-19

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

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100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS:

0 TO

PROJECTED ANSWERS:

0 TO

L2

L3

0 SEA SSS SAM L1

=> s l1 ful FULL SEARCH INITIATED 17:14:22 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED

4 ITERATIONS

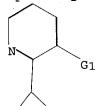
0 ANSWERS

SEARCH TIME: 00.00.01

SEARCH TIME: 00.00.01

0 SEA SSS FUL L1

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chain nodes :
7 8 9 10
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 6-10 7-8 7-9
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
6-10
exact bonds :
1-7 7-8 7-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,O,N,Cy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

STRUCTURE UPLOADED T.4

=> s 14

SAMPLE SEARCH INITIATED 17:17:55 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 2394 TO ITERATE

41.8% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

44946 TO 50814

PROJECTED ANSWERS:

2660 TO 4234

50 SEA SSS SAM L4

=> s 14 ful

FULL SEARCH INITIATED 17:18:02 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 47267 TO ITERATE

100.0% PROCESSED 47267 ITERATIONS

3775 ANSWERS

50 ANSWERS

SEARCH TIME: 00.00.01

3775 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL SESSION

313.15

312.94 FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:18:10 ON 04 OCT 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 4 Oct 2004 VOL 141 ISS 15 FILE LAST UPDATED: 3 Oct 2004 (20041003/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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10/670,665
=> s 16
        1177 L6
L7
=> s 17 and store operated calcium influx
        15294 STORE
         22395 STORES
         35680 STORE
                (STORE OR STORES)
         83986 OPERATED
        696838 CALCIUM
           32 CALCIUMS
        696841 CALCIUM
                (CALCIUM OR CALCIUMS)
         39759 INFLUX
         1063 INFLUXES
         40283 INFLUX
                (INFLUX OR INFLUXES)
            45 STORE OPERATED CALCIUM INFLUX
               (STORE (W) OPERATED (W) CALCIUM (W) INFLUX)
             0 L7 AND STORE OPERATED CALCIUM INFLUX
L8
=> s 17 and calcium
       696838 CALCIUM
          32 CALCIUMS
        696841 CALCIUM
               (CALCIUM OR CALCIUMS)
          108 L7 AND CALCIUM
=> s 19 and inhibitor
        453162 INHIBITOR
        471777 INHIBITORS
        728228 INHIBITOR
                (INHIBITOR OR INHIBITORS)
           94 L9 AND INHIBITOR
L10
=> s l10 and SOC
         20692 SOC
          990 SOCS
         21580 SOC
                (SOC OR SOCS)
L11
             1 L10 AND SOC
=> s 110 and blocking
         92971 BLOCKING
          30 BLOCKINGS
         92990 BLOCKING
                (BLOCKING OR BLOCKINGS)
             1 L10 AND BLOCKING
T-12
=> s 110 and block
        202452 BLOCK
        78100 BLOCKS
        258816 BLOCK
               (BLOCK OR BLOCKS)
L13
             2 L10 AND BLOCK
=> s 110 and disease
        710593 DISEASE
        196723 DISEASES
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802693 DISEASE

(DISEASE OR DISEASES) L1461 L10 AND DISEASE => s 110 and inflammat? 185309 INFLAMMAT? 20 L10 AND INFLAMMAT? L15 => dup rem 111 112 113 115 PROCESSING COMPLETED FOR L11 PROCESSING COMPLETED FOR L12 PROCESSING COMPLETED FOR L13 PROCESSING COMPLETED FOR L15 21 DUP REM L11 L12 L13 L15 (3 DUPLICATES REMOVED) => d l16 ibib hitstr abs 1-21 L16 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1 ACCESSION NUMBER: 2004:291973 CAPLUS DOCUMENT NUMBER: 140:309456 Perivascular wraps based on biodegradable polymers TITLE: containing therapeutic agents INVENTOR(S): Gravett, David M.; Toleikis, Philip M.; Guan, Dechi; Signore, Pierre E.; Spencer, Thomas S.; Hunter, William L.; Wang, Kaiyue Angiotech Pharmaceuticals, Inc., Can. PATENT ASSIGNEE(S): PCT Int. Appl., 92 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND APPLICATION NO. PATENT NO. DATE DATE ______ -------**---**_____ WO 2004028583 A2 20040408 WO 2003-US30280 20030926 WO 2004028583 A3 20040819 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, DY, VC, KZ, MD BY, KG, KZ, MD RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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IT 145599-86-6, Cerivastatin
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A1

RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(perivascular wraps made of biodegradable polymer mesh containing therapeutic agents for prevention or reduction of proliferative biol. response of passageway or cavity after surgery)

US 2003-673046

US 2002-414714P

US 2002-414693P

20030926

20020926

P 20020927

Р

RN 145599-86-6 CAPLUS

US 2004146546

PRIORITY APPLN. INFO.:

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

20040729

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

The present invention provides compns., devices, and methods for AB maintaining or improving the integrity of body passageways following surgery, such as at a graft site, or injury. Delivery devices including one or more therapeutic agents and a mesh are described. Representative examples of therapeutic agents include microtubule stabilizing agents, anti-angiogenic factors, inhibitors of smooth muscle cell growth or proliferation, non-steroidal anti-inflammatory drugs, and other factors useful in preventing and/or reducing a proliferative biol. response that may obstruct or hinder the optimal functioning of the passageway or cavity. For example, perivascular delivery of paclitaxel from mPEG-DL-lactide copolymer-coated PLGA mesh resulted in a dramatic reduction of intimal hyperplasia in a rat balloon injury carotid artery model.

L16 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:100986 CAPLUS

DOCUMENT NUMBER:

140:157460

TITLE:

PPARα-selective chromane and chromene compounds for the treatment of dyslipidemia and other lipid

disorders, and preparation thereof

INVENTOR(S):

Desai, Ranjit C.; Sahoo, Soumya

PATENT ASSIGNEE(S): SOURCE:

Merck & Co., Inc., USA PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT :	NO.			KINI	D 1	DATE		ī	APPL	I CAT	ION I	NO.		D	ATE	
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WO	2004	0109	92		A1	:	2004	0205	I	WO 2	003-1	US23	199		20	0030	725
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
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		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PG,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,
		TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,
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		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,
		NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,
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PRIOR

OTHER SOURCE(S):

MARPAT 140:157460

TΤ 143201-11-0, Rivastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(PPAR α -selective chromane and chromene compds. for treatment of lipid disorders, preparation, and use with other agents)

RN 143201-11-0 CAPLUS

6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-CNmethylethyl)-3-pyridinyl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

Na

GΙ

A class of chromane and chromene compds. I [R1, R2, R4 = (un)substituted AΒ C1-3 alkyl; R3, R5, R7 = H, (un) substituted C1-3 alkyl; R6 = H, Cl, Me, CF3; A, B = H, Cl, F, Me, CF3; X, Y = 0, S; n = 2, 3; dashed line = optional double bond], and pharmaceutically acceptable salts thereof, are useful as therapeutic compds., particularly in the treatment and control of hyperlipidemia, hypercholesterolemia, dyslipidemia, and other lipid disorders, and in delaying the onset of or reducing the risk of conditions and sequelae that are associated with these diseases, such as atherosclerosis. Compound preparation is included. 2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:80450 CAPLUS

DOCUMENT NUMBER:

140:145835

TITLE:

Preparation of dibenzofused bicyclo[2.2.2]octanederived amides as modulators of the glucocorticoid

receptor

INVENTOR (S):

Vaccaro, Wayne; Yang, Bingwei Vera; Kim, Soong-hoon; Huynh, Tram; Tortolani, David R.; Leavitt, Kenneth J.;

Li, Wenying; Doweyko, Arthur M.; Chen, Xiao-tao;

Doweyko, Lidia

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA; et al.

SOURCE:

PCT Int. Appl., 265 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT	NO.			KIN	D	DATE		j	APPL	ICAT:	ION 1	NO.		Di	ATE	
	WO 2004							-	1	WO 2	003-1	US22:	300		2	0030	717
	WO 2004	0090	17		A3		2004	0708									
	W.:	ΑE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
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		GM.	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
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receptor)

145599-86-6 CAPLUS RN

6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-CNmethylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

GI

AB Title compds. I [R-R4 = H, alk(en/yn)yl, alkoxy, aryl, etc.; Z = carboxamido, alkylamino, etc.] are prepared for instance, 2-amino-4,5-dimethylthiazole is coupled to the acid derived from the cycloaddn. of methacrylic acid and anthracene (CH3CN, EDCI, Et3N, HOAt, 18 h) to give II. I are glucocorticoid receptor modulators which are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes, inflammatory and immune disorders.

L16 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:60341 CAPLUS

DOCUMENT NUMBER:

140:117406

TITLE:

Liquid dosage compositions of stable nanoparticulate

drugs

INVENTOR(S):

Bosch, William H.; Hilborn, Matthew R.; Hovey, Douglas

C.; Kline, Laura J.; Lee, Robert W.; Pruitt, John D.;

Ryde, Niels P.; Ryde, Tuula A.; Xu, Shuqian

PATENT ASSIGNEE(S):

Elan Pharma International, Ltd, Ire. PCT Int. Appl., 68 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	ATENT 1	NO.			KIN	o :	DATE		i	APPL	ICAT:	ION I	NO.		Di	ATE	
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W	0 2004	0069	59		A1		2004	0122	1	WO 2	003-1	JS22	187		20	0030'	716
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i		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
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		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU	-											
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		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,
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PRIORI'	NL, PT GW, ML IORITY APPLN. INF				,		•		1	US 2	002-	3965	30P		P 20	0020	716

IT **145599-86-6**, Cerivastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (liquid dosage compns. of stable nanoparticulate drugs)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

The present invention relates to liquid dosage compns. of stable nanoparticulate drugs. The liquid dosage compns. of the invention include osmotically active crystal growth inhibitors that stabilize the nanoparticulate active agents against crystal and particle size growth of the drug. Thus, an aqueous nanoparticulate colloidal dispersion (NCD) comprising drug 32.5 Copovidone 6.5, and dioctyl sodium sulfosuccinate 0.464% by weight was prepared by milling for 3.8 h under high energy milling conditions. The final mean particle size (by weight) of the drug particles was 161 nm. The concentrated NCD was then diluted with preserved water and glycerol (the osmotically active crystal growth inhibitor) to 0.5-3.0% drug.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:41320 CAPLUS

DOCUMENT NUMBER:

140:87743

TITLE:

Therapeutic use and pharmaceutical compositions of

cholesterol ester transfer protein (CETP) inhibitors and optional HMG-CoA reductase inhibitors and/or antihypertensive agents

INVENTOR(S):

Nguyen, Tu Trung; Shear, Charles Lester; Revkin, James

Harold; Ruggeri, Roger Benjamin

PATENT ASSIGNEE(S):

SOURCE:

Pfizer Products Inc., USA

PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	NT I	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
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WO 2	004	0047	78		A 1		2004	0115	1	WO 2	003-	IB27	92		2	0030	518
1	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NΖ,	OM,
		PH,	ΡL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	ÜΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,

MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, F1, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004053842 20040318 US 2003-459683 20030610 Α1 PRIORITY APPLN. INFO.: US 2002-393395P 20020702 OTHER SOURCE(S): MARPAT 140:87743 122254-45-9, Glenvastin RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Glenvastatin; therapeutic use and pharmaceutical compns. of cholesterol ester transfer protein inhibitors and optional HMG-CoA reductase inhibitors and/or antihypertensive agents) 122254-45-9 CAPLUS RÑ 2H-Pyran-2-one, 6-[(1E)-2-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-CNpyridinyl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

IT 143201-11-0, Rivastatin 145599-86-6, Cerivastatin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (therapeutic use and pharmaceutical compns. of cholesterol ester
 transfer protein inhibitors and optional HMG-CoA reductase
 inhibitors and/or antihypertensive agents)
RN 143201-11-0 CAPLUS
CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1 methylethyl)-3-pyridinyl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

Na

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

AB The invention discloses cholesterol ester transfer protein (CETP) inhibitors, pharmaceutical compns. containing such inhibitors, and the use of such inhibitors to treat certain

diseases/conditions, optionally in combination with certain therapeutic agents e.g., antihypertensive agents.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

3

ACCESSION NUMBER:

2004:451474 CAPLUS

DOCUMENT NUMBER:

141:1258

TITLE:

Nitrosated compounds in methods of treating vascular diseases characterized by nitric oxide insufficiency

INVENTOR(S):

Loscalzo, Joseph; Vita, Joseph A.; Loberg, Michael D.;

Worcel, Manuel

PATENT ASSIGNEE (S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of U.S.

Ser. Nov. 679,257

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:
FAMILY ACC. NUM. COUNT:

. 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 2004105850	A1	20040603	US 2003-692724		20031027
US 6635273	B1	20031021	US 2000-697317		20001027
US 2004071766	A1	20040415	US 2003-679257		20031007
PRIORITY APPLN. INFO.:			US 1999-162230P	P	19991029
,			US 2000-179020P	P	20000131
			US 2000-697317	A1	20001027
			US 2003-679257	A2	20031007

OTHER SOURCE(S):

MARPAT 141:1258

IT 145599-86-6D, Cerivastatin, nitrosated compds.

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nitrosated compds. in methods of treating vascular diseases

characterized by nitric oxide insufficiency)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

The invention provides methods of treating and/or preventing vascular AB diseases characterized by nitric oxide insufficiency by administering a therapeutically effective amount of at least one nitrosated angiotensin-converting enzyme inhibitor, nitrosated beta-adrenergic blocker, nitrosated cholesterol reducer, nitrosated calcium channel blocker, nitrosated endothelin antagonist, nitrosated angiotensin II receptor antagonist, nitrosated renin inhibitor, and optionally at least one compound used to treat cardiovascular diseases and/or at least one antioxidant, or a pharmaceutically acceptable salt thereof, and/or at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase. The antioxidant may preferably be a hydralazine compound or a pharmaceutically acceptable salt thereof. The compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase may preferably be isosorbide dinitrate and/or isosorbide mononitrate. The vascular diseases characterized by nitric oxide insufficiency include a cardiovascular disease and a disease resulting from oxidative stress. Nitric oxide action was shown to be impaired in the microvasculature of black hypertensive patients to a greater extent than in white hypertensive patients.

L16 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:392331 CAPLUS

DOCUMENT NUMBER:

140:406798

TITLE:

Preparation of benzoxepinopyridines as HMG-CoA

reductase inhibitors

INVENTOR(S):

Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S.

Ser. No. 875, 155, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004092573	A1	20040513	US 2003-602752	20030624
US 2002013334	A1	20020131	US 2001-875155	20010606
PRIORITY APPLN. INFO.:			US 2000-211595P	P 20000615
			US 2001-875155	B2 20010606

OTHER SOURCE(S):

MARPAT 140:406798

T 145599-86-6, Cerivastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia,

hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX

NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

IT 380459-94-9P 380459-96-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia,

hypertriqlyceridemia, atherosclerosis, and other disorders)

RN 380459-94-9 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Na

RN 380459-96-1 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-heptanoic acid, 4-(4-fluorophenyl)-5,6-dihydro- β , δ -dihydroxy-2-(1-methylethyl)-, monosodium salt, (β R, δ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

IT 380460-17-3P 380460-19-5P 380460-21-9P 380460-23-1P 380460-25-3P 380460-27-5P

380460-29-7P 380460-31-1P 380460-33-3P

380460-35-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia,

hypertriglyceridemia, atherosclerosis, and other disorders)

RN 380460-17-3 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-carboxylic acid, 4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 380460-19-5 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-methanol, 4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 380460-21-9 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine, 3-(bromomethyl)-4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 380460-23-1 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine, 3-[(diphenylphosphinyl)methyl]-4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
CH_2 & & \\
& & \\
P & Ph \\
Ph
\end{array}$$

RN 380460-25-3 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-[(1E)-2-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]ethenyl]-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 380460-27-5 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 380460-29-7 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-[2-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]ethyl]-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380460-31-1 CAPLUS

CN 2H-Pyran-2-one, 6-[2-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]ethyl]tetrahydro-4-hydroxy-, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380460-33-3 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-carboxaldehyde, 4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 380460-35-5 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-carboxylic acid, 4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [X = 0, S, S0, S02, NR7; Z = HOCHCH2CH(OH)CH2CO2R3,
 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl,
 cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3
 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl,

alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDL cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia and atherosclerosis (no data). A multistep synthesis of II is reported.

L16 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:913055 CAPLUS

DOCUMENT NUMBER:

139:399770

TITLE:

Medical goods comprising heparin or chitosan-based

hemocompatible coating

INVENTOR(S):

Horres, Roland; Linssen, Marita Katharina; Hoffmann,

Michael; Faust, Volker; Hoffmann, Erika; Di Biase,

Donato

PATENT ASSIGNEE(S):

Hemoteq G.m.b.H., Germany PCT Int. Appl., 93 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.			KINI	D C	DATE				ICAT:				D.	ATE	
						-									-		
WO	2003	0949	90		A1		2003	1120	1	WO 2	003-1	DE12	53		2	0030	415
	W:	ΑE,	AG,	ΑL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	LR,
	•	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΙ,	NO,	ΝZ,	OM,
	PH, PL,				RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
,	TZ, UA, U				US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,
		MD,	RU,	ΤĴ,	TM												
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	$\mathrm{T}Z$,	UG,	ZM,	ZW,	ΑT,	BE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,
		ΝL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,
		GW,	ML,	MR,	NΕ,	SN,	TD,	TG									
DE	GW, ML, M DE 10221055						2003	1127	1	DE 2	002-	1022	1055		2	0020	510
DE	DE 10261986						2004	0318]	DE 2	002-	1026	1986		2	0020	510
PRIORIT	Y APP	LN.	INFO	.:					1	US 2	002-3	3786	76P		P 2	0020	509
						_			7	DE 2	002-	1022	1055	1	A 2	0020	510

IT **145599-86-6**, Cerivastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medical goods comprising a heparin-based hemocompatible coating)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

The invention relates to oligo- and polysaccharides containing the sugar AB structural element N-acylqlucosamine or N-acylqalactosamine, in addition to the use thereof for producing hemocompatible surfaces and to methods for coating surfaces in a hemocompatible manner with said oligo- and polysaccharides, which constitute the common biosynthetic precursor substances of heparin, heparan sulfates and chitosan. The invention also relates to methods for producing the oligo- and/or polysaccharides, in addition to diverse application options involving hemocompatible surfaces. The invention specifically relates to the use of the oligo- and/or polysaccharides on stents involving at least one hemocompatible coating that has been applied according to the invention and that contains an anti-proliferative, anti-inflammatory and/or athrombogenic active ingredient, to methods for producing said stents and to the use of the latter for preventing restenosis. Thus desulfated and reacetylated heparin was prepared; the Ac-heparin product was used for coating coronary metal stents. The stents were implanted in swines; after four weeks the animals were anesthetized and the artery segments removed for histomorphometric anal.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:892539 CAPLUS

DOCUMENT NUMBER:

139:375605

TITLE:

Synthesis and uses of 4-azasteroid derivatives as

selective androgen receptor modulators (SARMs)

INVENTOR(S):

Wang, Jiabing; McVean, Carol A. Merck & Co., Inc., USA

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 181 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN)	DATE		i	APPL:	ICAT	ION 1	NO.		D	ATE	
					-									-		
WO 2003	0925	88		A2		2003	1113	1	WO 2	003-1	US13:	120		2	00304	425
WO 2003	0925	88		A 3		2004	0715									
W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PH,
	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
	UA,	UG,	US,	ÚΖ,	VC,	VN,	YU,	ZA,	ZM,	ΖW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,
	RU,	ТJ,	TM													
RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	ВG,

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-376779P P 20020430

OTHER SOURCE(S): MARPAT 139:375605

IT 145599-86-6, Cerivastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

GΙ

AB Compds. of structural formula (I) are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. These compds. are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other

hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, Alzheimer's disease, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

L16 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:757525 CAPLUS

DOCUMENT NUMBER: TITLE:

Preparation of fluorinated 4-aza-androstan-3-one- 17β -carboxamide derivatives as androgen receptor

modulators

139:277056

INVENTOR(S):

Meissner, Robert S.; Perkins, James J.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

SOURCE:

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT				KIN		DATE				ICAT:				D	ATE	
	WO 2003				A1										2	0030	307
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑÜ,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
,		GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΙ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,
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CN	6-Hepte							_	-			-	-				
	methyle NAME)	cny1,) -3- <u>]</u>	pyrı	ainy.	1]-3	, 5 - a	тпуа	roxy	-, (3K, 5	5,6E) - (:	9(1)	(C)	-7 TIM	DEX

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

GΙ

AB Fluorinated 4-aza-androstan-3-one- 17β -carboxamide derivs., such as I [a-b = CF:CH, CHFCH2, CF2CH2; R1 = H, CH2OH, (un) substituted alkyl; R2 = H, alkyl; R3 = alkyl, cycloheteroalkyl, aryl, heteroaryl; R2R3 = 5 or 6-membered ring fused with a 5- or 6-membered aromatic ring system having 0-2 heteroatoms], or a pharmaceutically acceptable salt or an enantiomer thereof, were prepared for their use as modulators of the androgen receptor (AR) in a tissue selective manner. Thus, 4-aza-androstan-3-one-17βcarboxamide derivative II, was prepared via a multiple step reaction sequence starting from 4-methyl-4-aza-androstan-3-one-17-carboxylic acid Me ester and 2-fluoro-benzylamine. The prepared compds. are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. I are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

REFERENCE COUNT:

SOURCE:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:377132 CAPLUS

DOCUMENT NUMBER: 138:367144

TITLE: Soluble CD40L (CD154) as a prognostic marker of

atherosclerotic diseases

INVENTOR(S): Schoenbeck, Uwe; Ridker, Paul M.; Libby, Peter PATENT ASSIGNEE(S): The Brigham and Women's Hospital, Inc., USA

PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PA	rent :	NO.			KIN)]	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
,		2003						2003 2003		1	WO 2	002-1	US35	505		2	0021	105	
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
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	UA, UG,				•	•	•	•	•										
	PL, PT, I UA, UG, U				•		•	•	-	-					•				TM
	UA, UG, UR, UG, UR, UG, UR, UG, UR, UR, UR, UR, UR, UR, UR, UR, UR, UR																		
						DE,				-		-							
						TR,													
			•	SN.	•		•	·	•	·	•	•	·			•	·	•	
	US	2003	1525	66 [.]	,	A1		2003	0814		US 2	002-	2882	53		2	0021	105	
		1451																	
						DE,													
			•	•	•	LV,	•	•	•	-		-						,	
PRIO	RIT	Y APP	•	•	•	•	•	•	•			001-					0011	105	
											WO 2	002-	US35.	505	1	W 2	0021	105	
IT	14	5599-	86-6	, Ce	riva	stat	in												
	RL	: PAC	(Ph	arma	colo	gica	l ac	tivi	ty);	THU	(Th	erap	euti	c us	e);	BIOL			

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOI (Biological study); USES (Uses)

(soluble CD40L as prognostic marker of atherosclerotic diseases, and use in therapeutic agent assessment)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

AB The invention involves the new use of a diagnostic test to determine the risk of atherosclerotic diseases, e.g. myocardial infarction and stroke, particularly among individuals with no signs or symptoms of current disease and among nonsmokers. Further, the invention involves the new use of a diagnostic test to assist physicians in determining which individuals at risk will preferentially benefit from certain treatments designed either to prevent first or recurrent myocardial infarctions and strokes, or to treat acute and chronic cardiovascular disorders. Methods for treatment are also described.

L16 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2003:261603 CAPLUS

DOCUMENT NUMBER:

138:281598

TITLE:

Androstane compounds as androgen receptor (AR)

modulators for the treatment of AR-related diseases

INVENTOR(S):

Wang, Jiabing

PATENT ASSIGNEE(S): SOURCE:

Merck & Co., Inc., USA PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT :	NO.			KIN	D :	DATE		i	APPL	ICAT:	ION 1	NO.		Di	ATE	
	2003						2003		. 1	WO 2	002-1	US29	436		2	0020	917
0	W:	AE, CO, GM, LT, PT,	AG, CR, HR, LU, RO,	AL, CU, HU, LV, RU,	AM, CZ, ID, MA, SD,	AT, DE, IL, MD, SE,	AU, DK, IN, MG, SG,	AZ, DM, IS, MK, SI,	DZ, JP, MN, SK,	EC, KE, MW, SL,	EE, KG, MX, TJ,	ES, KR, MZ, TM,	FI, KZ, NO, TN,	GB, LC, NZ, TR,	GD, LK, OM, TT,	GE, LR, PH, TZ,	GH, LS, PL, UA,
	RW:	TJ, GH, CH, PT,	TM GM, CY,	KE, CZ, SK,	LS, DE, TR,	MW,	YU, MZ, EE, BJ,	SD, ES,	SL, FI,	SZ, FR,	TZ,	UG, GR,	ZM,	ZW,	AT,	BE,	BG,
		AT, IE,	BE, SI,	CH, LT,	DE,	DK,	2004 ES, RO,	FR,	GB, CY,	GR, AL,	IT, TR,	LI, BG,	LU, CZ,	NL, EE,	SE, SK	·	PT,
PRIORIT	Y APP		INFO	. :									24P 436			0010	

OTHER SOURCE(S):

MARPAT 138:281598

IT **145599-86-6**, Cerivastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

RN 145599-86-6 CAPLUS

6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

GI

CN

Compds. of structural formula (I) as herein defined are claimed as useful AB in a method for modulating a function of the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of activating the function of the androgen receptor in a patient, and in particular the method wherein the function of the androgen receptor is blocked in the prostate of a male patient or in the uterus of a female patient and activated in bone and/or muscle tissue. These compds. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteopenia, osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, female sexual dysfunction, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, prostate cancer, inflammatory arthritis and joint repair, alone or in combination with other active agents. Methods for the co-administration of those compds. with bone-strengthening agents are also claimed.

L16 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:927184 CAPLUS

DOCUMENT NUMBER:

138:14048

TITLE:

Preparation of oxazolylethoxyphenylprolines and related compounds as antidiabetic and antiobesity

agents

INVENTOR (S):

Cheng, Peter T.; Jeon, Yoon; Wang, Wei

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 107 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-			
WO 2002096357	A2	20021205	WO 2002-US16628	20020523
WO 2002096357	A3	20030925		
W: AE, AG,	AL, AM, AT	, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,
CO, CR,	CU, CZ, DE	, DK, DM,	DZ, EC, EE, ES, FI, GB,	GD, GE, GH,
GM. HR.	HU. ID. IL	. IN. IS.	JP, KE, KG, KP, KR, KZ,	LC, LK, LR,

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2002-153342
                                                                   20020522
                                20030515
    US 2003092697
                          Α1
                                20040331
                                            EP 2002-737192
                                                                   20020523
                         A2
    EP 1401433
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                            US 2001-294505P
                                                                    20010530
PRIORITY APPLN. INFO.:
                                            WO 2002-US16628
                                                                   20020523
                         MARPAT 138:14048
```

OTHER SOURCE(S):

145599-86-6, Cerivastatin ΙT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of oxazolylethoxyphenylprolines and related compds. as antidiabetic and antiobesity agents)

RN145599-86-6 CAPLUS

6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-ÇN methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

GI

$$R^{2}$$
?
 R^{2} ?
 R^{2} ?
 R^{2} ?
 R^{2} ?
 R^{2} ?
 R^{2}
 R^{2}

Title compds. [I; m, n = 0-2; Q = C, N; A = (CH2)x, (CH2)x1, with an AB alkenyl or alkynyl bond in the chain, (CH2)x20(CH2)x3; x = 1-5; x1 = 2-5; x2, x3 = 0-5; provided that ≥ 1 of x2 and $x3 \ne 0$; X1 = CH, N; X2 = C, N, O, S; X3 = C, N; X4 = C, N, O, S provided that ≥ 1 of X2, X3, X4 = N; in each of X1-X4, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3 = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, heteroaryloxycarbonylamino, heteroarylheteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroaryloxycarbonyl, cycloheteroalkyloxycarbonyl, aryloxyheteroarylalkyl, heteroarylalkyloxyarylalkyl, arylarylalkyl, arylalkenylarylalkyl, arylaminoarylalkyl, etc.; Y = CO2R4, 1-tetrazolyl, P(0) (OR4a)R5, P(0) (OR4a)2; R4 = H, alkyl, prodrug ester; R4a = H, prodrug ester; R5 = alkyl, aryl; Z = (CH2)x4, (CH2)x5, (CH2)x60(CH2)x7; x4 = 1-5; x5 = 2-5; x6, x7 = 0-4], were prepared as antidiabetic and antiobesity agents (no data). Thus, title compound (II) was prepared in 6 steps.

II

ANSWER 14 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN L16

ACCESSION NUMBER:

2002:540258 CAPLUS

DOCUMENT NUMBER:

137:109267

TITLE:

SOURCE:

Preparation of benzoxepinopyridines as HMG-CoA

reductase inhibitors

INVENTOR(S):

Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing

Ι

PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S.

Ser. No. 875,155. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002094977	A1	20020718	US 2001-7407	20011204
US 6627636	B2	20030930		

US 2002013334 A1 20020131 US 2001-875155 20010606
PRIORITY APPLN. INFO.: US 2000-211595P P 20000615
US 2001-875155 A2 20010606

OTHER SOURCE(S): MARPAT 137:109267

IT **145599-86-6**, Cerivastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia,

hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

IT 380459-94-9P 380459-96-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia,

hypertriglyceridemia, atherosclerosis, and other disorders)

RN 380459-94-9 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Na

RN 380459-96-1 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-heptanoic acid, 4-(4-fluorophenyl)-5,6-dihydro- β , δ -dihydroxy-2-(1-methylethyl)-, monosodium salt, (β R, δ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

TT 380460-17-3P 380460-19-5P 380460-21-9P 380460-23-1P 380460-25-3P 380460-27-5P 380460-29-7P 380460-31-1P 380460-33-3P 380460-35-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia,

hypertriglyceridemia, atherosclerosis, and other disorders)

RN 380460-17-3 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-carboxylic acid, 4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 380460-19-5 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-methanol, 4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 380460-21-9 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine, 3-(bromomethyl)-4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 380460-23-1 CAPLUS

CN [1] Benzoxepino[5,4-b] pyridine, 3-[(diphenylphosphinyl)methyl]-4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 380460-25-3 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-[(1E)-2-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]ethenyl]-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 380460-27-5 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 380460-29-7 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-[2-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]ethyl]-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380460-31-1 CAPLUS

CN 2H-Pyran-2-one, 6-[2-[4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)[1]benzoxepino[5,4-b]pyridin-3-yl]ethyl]tetrahydro-4-hydroxy-, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380460-33-3 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-carboxaldehyde, 4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 380460-35-5 CAPLUS

CN [1]Benzoxepino[5,4-b]pyridine-3-carboxylic acid, 4-(4-fluorophenyl)-5,6-dihydro-2-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [X = 0, S, SO, SO2, NR7; Z = HOCHCH2CH(OH)CH2CO2R3, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl,

alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDl cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia and atherosclerosis (no data). A multistep synthesis of II is reported.

L16 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:392237 CAPLUS

DOCUMENT NUMBER:

136:401651

TITLE:

Preparation of fused pyridine derivatives as HMG-CoA

reductase inhibitors

INVENTOR (S):

Robl, Jeffrey A.; Chen, Bang-Chi; Sun, Chong-Qing

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.

Ser. No. 875,218.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND	DATE	API	PLICATION NO.		DATE		
						-			
US 2002	061901	A1	20020523	US	2001-8154		20011204		
US 6620	821	B2	20030916						
US 2002	028826	A1	20020307	US	2001-875218		20010606		
US 2004	024216	A1	20040205	US	2003-602753		20030624		
PRIORITY APP	LN. INFO.:			US	2000-211594P	P	20000615		
				US	2001-875218	A2	20010606		
				US	2001-8154	Α3	20011204		

OTHER SOURCE(S):

MARPAT 136:401651

380469-07-8P 380469-08-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of fused pyridine derivs. as HMG-CoA reductase inhibitors)

RN380469-07-8 CAPLUS

6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-5H-CN benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 380469-08-9 CAPLUS

CN L-Arginine, mono[(3R,5S,6E)-7-[4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-5H-benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]-3,5-dihydroxy-6-heptenoate] (9CI) (CA INDEX NAME)

CM 1

CRN 380469-07-8 CMF C30 H32 F N O4

Absolute stereochemistry.

Double bond geometry as shown.

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

$$H_2N$$
 NH
 $(CH_2)_3$
 S
 CO_2H
 NH_2

IT 380468-71-3P 380468-73-5P 428863-94-9P
428876-96-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyridine derivs. as ${\tt HMG-CoA}$ reductase ${\tt inhibitors})$

RN 380468-71-3 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-5H-benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Na

RN 380468-73-5 CAPLUS

CN 5H-Benzo[6,7]cyclohepta[1,2-b]pyridine-3-heptanoic acid, 4-(4-fluorophenyl)-6,7-dihydro- β , δ -dihydroxy-2-(1-methylethyl)-, monosodium salt, (β R, δ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 428863-94-9 CAPLUS

CN 5H-Benzo[6,7]cyclohepta[1,2-b]pyridine-3-heptanoic acid, 4-(4-fluorophenyl)-6,7-dihydro- β , δ -dihydroxy-2-(1-methylethyl)-, (β R, δ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 428876-96-4 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-5H-benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

●1/2 Ca

RN 135454-77-2 CAPLUS

CN 5H-Benzo[6,7]cyclohepta[1,2-b]pyridine-3-methanol, 4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 137586-44-8 CAPLUS

CN 5H-Benzo[6,7]cyclohepta[1,2-b]pyridine-3-carboxylic acid, 4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 380464-21-1 CAPLUS

CN 5H-Benzo[6,7]cyclohepta[1,2-b]pyridine, 3-(bromomethyl)-4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 380468-91-7 CAPLUS

CN Phosphonic acid, [[4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-5H-benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 380468-93-9 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-[(1E)-2-[4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-5H-benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]ethenyl]-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 380468-95-1 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[4-(4-fluorophenyl)-6,7-dihydro-2-(1-

methylethyl) -5H-benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 380468-97-3 CAPLUS

CN 5H-Benzo[6,7]cyclohepta[1,2-b]pyridine, 3-[(diphenylphosphinyl)methyl]-4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{PH} \end{array}$$

RN 380468-99-5 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-[2-[4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-5H-benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]ethyl]-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380469-01-2 CAPLUS

CN 2H-Pyran-2-one, 6-[2-[4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-5H-benzo[6,7]cyclohepta[1,2-b]pyridin-3-yl]ethyl]tetrahydro-4-hydroxy-, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380469-05-6 CAPLUS

CN 5H-Benzo[6,7]cyclohepta[1,2-b]pyridine-3-acetic acid, 4-(4-fluorophenyl)-6,7-dihydro-2-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)

as

IT **145599-86-6**, Cerivastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. also containing; preparation of fused pyridine derivs.

HMG-CoA reductase inhibitors)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

GΙ

$$R^2$$
 R^2
 R^2
 CO_2Na
 CO_2Na
 R^4
 R^4

The title compds. I and their pharmaceutically acceptable salts, esters, AΒ prodrug esters, and stereoisomers are claimed [wherein: Z = CH(OH)CH2CR7(OH)CH2CO2R3 or corresponding pyranone lactone derivs.; n = 0, 1; x = 0, 1, 2, 3, or 4; y = 0, 1, 2, 3 or 4, provided that at least one of x and y is other than 0; and optionally one or more carbons of (CH2)xand/or (CH2)y together with addnl. carbons form a 3 to 7 membered spirocyclic ring; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H or lower alkyl; R4 = H, halo, CF3, OH, alkyl, alkoxy, CO2H, (un)substituted NH2, cyano, (un) substituted CONH2, etc.; R7 = H, alkyl]. The compds. are HMG-CoA reductase inhibitors, and are active in inhibiting cholesterol biosynthesis and modulating blood serum lipids, for example, lowering LDL cholesterol and/or increasing HDL cholesterol (no data). I are thus useful in treating hyperlipidemia and dyslipidemia, in hormone replacement therapy, and in treating hypercholesterolemia, hypertriglyceridemia and atherosclerosis, as well as Alzheimer's disease and osteoporosis. Prepns. of several compds. are described. For instance, a multistep synthesis of fused pyridine derivative II is reported. Compds. I may be used in a manner

similar to atorvastatin, pravastatin, simvastatin, etc. Combinations of compds. I with various other drugs are claimed, the latter being specified as certain pharmacol. classes, as **inhibitors** of specific enzymes, as (ant)agonists of specific receptors, and as numerous named drugs.

L16 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:51509 CAPLUS

DOCUMENT NUMBER:

139:159743

TITLE:

Cerivastatin potentiates nitric oxide release and eNOS

expression through inhibition of isoprenoids synthesis

AUTHOR (S):

Kalinowski, L.; Dobrucki, I. T.; Malinski, T.

CORPORATE SOURCE:

Department of Laboratory Medicine, Laboratory of Cellular and Molecular Nephrology, Medical Research Center of the Polish Academy of Science, Medical

University of Gdansk, Gdansk, Pol.

SOURCE:

Journal of Physiology and Pharmacology (2002), 53(4,

Pt. 1), 585-595

CODEN: JPHPEI; ISSN: 0867-5910

PUBLISHER:

Polish Physiological Society

DOCUMENT TYPE:

Journal English

LANGUAGE:

ΤТ

145599-86-6, Cerivastatin

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cerivastatin potentiates nitric oxide release and eNOS expression through inhibition of isoprenoids synthesis)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

Endothelium dysfunction, which is often defined as a decrease in NO bioavailability, is one of the earliest manifestations of endothelium-impaired function disorders, including atherosclerosis. Although improvement in NO bioavailability has been attributed to the lowering of serum cholesterol levels, recent studies suggest that HMG-CoA reductase inhibitors, statins, may have direct effects on NO bioavailability by little known mechanisms that are independent of serum cholesterol levels. The long-term effect of cerivastatin on NO release from endothelial cells was determined by using highly sensitive electrochem. microsensors and was correlated with endothelial NO synthase (eNOS) levels. To explore whether changes in isoprenoid synthesis affect NO bioavailability and eNOS expression, human endothelial cells were treated with cerivastatin, L-mevalonate (MVA; 1.5 mmol/L),

geranylgeranylpyrophosphate (GGPP; 1 mg/mL) and farnesylpyrophosphate (FPP; 1 mg/mL). Cerivastatin increased spontaneous (by 53% ±6) and an eNOS-stimulated NO release (by 41 ±6% for calcium ionophore and by 47±5% acetylcholine) as well as eNOS expression (by 118 ±6%) in the same concentration-range. Cerivastatin-dependent increase in both NO release and eNOS expression was revealed after .apprx.4 h of exposure reaching the maximum after .apprx.10 h. Co-treatment with MVA or GGPP, but not FPP or LDL, reversed the effects of cerivastatin. These findings indicate that the long-term effect of cerivastatin resulting in enhanced NO bioavailability in endothelial cell is, at least in part, due to up-regulation of eNOS by blocking isoprenoids synthesis.

REFERENCE COUNT:

32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER:

2001:338762 CAPLUS

DOCUMENT NUMBER:

134:362292

TITLE:

Methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile

INVENTOR(S):

Farr, Spencer

PATENT ASSIGNEE(S):

Phase-1 Molecular Toxicology, USA

SOURCE:

PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	PATE	1 TV	10.			KIN	D	DATE	•		APPL	ICAT	ION	NO.		D	ATE	
-							-				-					_		
W	10 20	0010	3292	28		A2		2001	0510	1	WO 2	000-1	US30	474		2	0001	103
. W	10 20	0010	3292	28		A3		2002	0725									
	V	V :	ΑE,	AG,	ΑL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	ΡL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VN,
			YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM				
	F	₹W:	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	${ m TZ}$,	UĠ,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
PRIORI	TY A	APPI	LN.	INFO	. :					1	US 1	999-	1653	98P		P 1:	9991	105
										1	US 2	000-	1965	71P		P 2	0000	411

IT **145599-86-6**, Cerivastatin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(methods of determining individual hypersensitivity to a pharmaceutical agent

from gene expression profile)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

The invention discloses methods, gene databases, gene arrays, protein AB arrays, and devices that may be used to determine the hypersensitivity of individuals to a given agent, such as drug or other chemical, in order to prevent toxic side effects. In one embodiment, methods of identifying hypersensitivity in a subject by obtaining a gene expression profile of multiple genes associated with hypersensitivity of the subject suspected to be hypersensitive, and identifying in the gene expression profile of the subject a pattern of gene expression of the genes associated with hypersensitivity are disclosed. The gene expression profile of the subject may be compared with the gene expression profile of a normal individual and a hypersensitive individual. The gene expression profile of the subject that is obtained may comprise a profile of levels of mRNA or cDNA. The gene expression profile may be obtained by using an array of nucleic acid probes for the plurality of genes associated with hypersensitivity. The expression of the genes predetd. to be associated with hypersensitivity is directly related to prevention or repair of toxic damage at the tissue, organ or system level. Gene databases arrays and apparatus useful for identifying hypersensitivity in a subject are also disclosed.

L16 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2001:396644 CAPLUS

DOCUMENT NUMBER: 135:24671

TITLE: Solid carriers for improved delivery of active

ingredients in pharmaceutical compositions

INVENTOR(S): Patel, Manesh V.; Chen, Feng-jing

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.				KIN	D :	DATE			APPL	ICAT		DATE					
						-											
WO 2001037808				A1 20010531			1	WO 2	000-		20001122						
	W:	ΑE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,
		ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
US 6248363					В1		2001	0619	1	US 1	999-	4476	90		1:	9991	123

EP 1233756 A1 20020828 EP 2000-980761 20001122 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003517470 T2 20030527 JP 2001-539423 20001122 PRIORITY APPLN. INFO:: US 1999-447690 A 19991123 WO 2000-US32255 W 20001122

IT 145599-86-6, Cerivastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

AB The present invention provides solid pharmaceutical compns. for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or sep. administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compns. of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritionals, cosmeceuticals and diagnostic agents. A composition contained glyburide 1, PEG 40 stearate 33, glycerol monolaurate 17, and nonpareil seed 80 q.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE ÎN THE RE FORMAT

L16 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:661287 CAPLUS

DOCUMENT NUMBER: 135:216008

TITLE: P-glycoprotein modifier-containing medicinal

compositions to be delivered to the large intestine

INVENTOR(S): Tanida, Norifumi; Goto, Takeshi; Kurosaki, Yuji

PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent L'ANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

,	PA	rent .	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATĘ	
		2001	0642			7.1	-	2001			 WO 3		 TD1 E			-	0010	201
	WO	2001																
		W:	ΑE,	AG,	ΑL,	AM,	ΑT,	AU,	ΑZ,	ΒA,	BB,	ВG,	BR,	ΒY,	ΒZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ;	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	ΙL,	IN,	IS,	JΡ,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	ŪΑ,	ŪĠ,	US,	UZ,	VN,
			YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	\mathbf{TM}				
,		RW:	GH,	GM,	KE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NΕ,	SN,	TD,	TG		
	AU	2001	0360	09		A5		2001	0912		AU 2	001-	3600	9		2	0010	301
	EP	1260	233			A1		2002	1127		EP 2	001-	9081	78		2	0010	301
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR						
	US	2003	1580	97		A1		2003	0821		US 2	002-	2205	51		2	0021	121
PRIORITY APPLN. INFO.:								1	JP 2	000-	5763	0		A 2	0000	302		
			•								WO 2	001-	JP15	46	1	₩ 2	0010	301

IT **145599-86-6**, Cerivastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (P-glycoprotein modifiers for drug delivery to intestine)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

Disclosed are novel medicinal compns. aiming at delivering a medicine to a specific site of the large intestine; and prepns. for intestinal administration with the use of the same. P-glycoprotein enhancers and inhibitors in the compns. allow specific drug delivery in the lower or upper intestine. A tablet was formulated containing betamethasone sodium phosphate 2, verapamil (as P-glycoprotein inhibitor) 1, crystalline cellulose 10, lactose 81, crospovidone 5, and Mg stearate 1 part was coated with a coating composition containing Eudragit E 7, ethanol 70, water 19.5, and talc 3.5 parts.

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:283949 CAPLUS

DOCUMENT NUMBER:

134:311218

TITLE:

Synthesis and use of heterocyclic sodium/proton

exchange inhibitors

INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,

Khehyong; Atwal, Karnail S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: E FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE						ICAT		DATE				
WO	2001 2001	0271	07 .				2001	0419							2	0001	002
, "		CR, HU, LU, SD, YU, GH, DE,	CU, ID, LV, SE, ZA, GM, DK,	CZ, IL, MA, SG, ZW, KE, ES,	DE, IN, MD, SI, AM, LS, FI,	DK, IS, MG, SK, AZ, MW, FR,	DM, JP, MK, SL, BY, MZ, GB,	DZ, KE, MN, TJ, KG, SD, GR,	EE, KG, MW, TM, KZ, SL, IE,	ES, KP, MX, TR, MD, SZ,	BG, FI, KR, MZ, TT, RU, TZ, LU,	GB, KZ, NO, TZ, TJ, UG, MC,	GD, LC, NZ, UA, TM ZW, NL,	GE, LK, PL, UG,	GH, LR, PT, US,	GM, LS, RO, UZ,	HR, LT, RU, VN,
EP	1224 R:	183 AT,	BE,	CH,	A2 DE,	DK,	2002 ES,	0724 FR,	GB,	EP 2 GR,	NE, 2000-: IT,	9687	23			0001 MC,	
JP	2000 2003 2002 Y APP	0147 5273 0017	25 31 17	·	A T2		2003 2003	0916		BR 2 JP 2 NO 2 US 3	2001-	5303 1717 1587	25 55P		2 2 P 1	0001 0001 0020 9991 0001	002 411 012

OTHER SOURCE(S):

MARPAT 134:311218

IT **145599-86-6**, Cerivastatin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals also containing; synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, AΒ halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)3Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. intermediate tert-Bu ester is converted to the corresponding $\alpha\text{-chloroketone}$ and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, β -adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

L16 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:167849 CAPLUS

DOCUMENT NUMBER:

134:217194

TITLE:

Systemic inflammatory markers as diagnostic

tools in the prevention of atherosclerotic diseases

Ridker, Paul; Hennekens, Charles H.

PATENT ASSIGNEE(S):

The Brigham and Women's Hospital, Inc., USA

SOURCE:

INVENTOR(S):

PCT Int. Appl., 53 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
			
WO 2001015744	A1 20010308	WO 2000-US24251	20000831
WO 2001015744	C2 20020926		
W: AU, CA, JP			
RW: AT, BE, CH,	CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT	LU, MC, NL,
PT, SE	•		
EP 1212101	A1 20020612	EP 2000-959851	20000831
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL	, SE, MC, PT,
TE, FT, CY			

JP 2003508453 T2 20030304 JP 2001-520155 20000831
PRIORITY APPLN. INFO.: US 1999-387028 A 19990831
WO 2000-US24251 W 20000831

IT **145599-86-6**, Cerivastatin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of agents and systemic **inflammatory** markers to predict and inhibit cardiovascular diorders in humans)

RN 145599-86-6 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

The invention involves methods for characterizing an individual's risk profile of developing a future cardiovascular disorder such as atherosclerosis, stroke, and myocardial infarction by assessing the level of systemic inflammation marker (such as sICAM or C-reactive protein) in an individual. The invention also involves methods for evaluating the likelihood that an individual will benefit from treatment with an agent for reducing the risk of future cardiovascular disorders; and of drug combinations (anti-inflammatory agents, lipid-reducing agents, angiotensisin system inhibitors,

calcium channel blockers, β -adrenergic receptor blockers)

suitable for prevention future cardiovascular disease.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 126.14 439.29 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -14.70-14.70

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